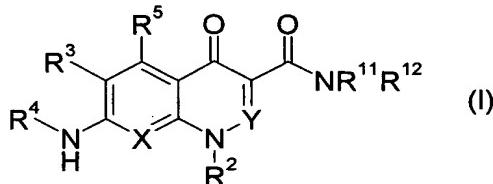


Claims

1. A platelet aggregation inhibitor comprising a quinolone derivative represented by the formula (I) or a pharmaceutically acceptable salt thereof as an active ingredient:

5



[the symbols in the formula have the following meanings:

X: C-R⁷ or N;

Y: C-R⁶ or N;

10 R¹¹: -H, a lower alkyl which may be substituted, or an amino which may be substituted with a lower alkyl which may be substituted;

R¹²: -H, or a lower alkyl or an aryl which respectively may be substituted, provided that R¹¹ and R¹² together with the adjacent nitrogen may form a cyclic amino which may be substituted;

R²: a lower alkyl, a cycloalkyl, an aryl or a hetero ring, which respectively may be substituted;

15 R³: a halogen, a lower alkyl or -O-lower alkyl;

R⁴: a cycloalkyl or a non-aromatic hetero ring, which respectively may be substituted, or a lower alkyl substituted with a cycloalkyl; provided that when R⁴ represents a non-aromatic hetero ring which may be substituted, a carbon atom constituting the ring binds to the adjacent NH;

R⁵: -H, a halogen, cyano, nitro, a lower alkyl, a halogeno-lower alkyl, a cycloalkyl, an aryl, a hetero

20 ring, -O-lower alkyl, -OH, -NHCO-lower alkyl, -N(lower alkyl)CO-lower alkyl, an amino which may be substituted with a lower alkyl, or a cyclic amino which may be substituted;

R⁶: -H, a halogen, a lower alkyl or a halogeno-lower alkyl;

R⁷: -H, a halogen, a lower alkyl or a halogeno-(lower alkyl);

provided that when Y represents C-R⁶, R² and R⁶ together may form a lower alkylene or a lower

25 alkenylene.

2. A P2Y12 inhibitor comprising the compound according to claim 1 as an active ingredient.

- 30 3. Use of the compound according to claim 1 as a platelet aggregation inhibitor.

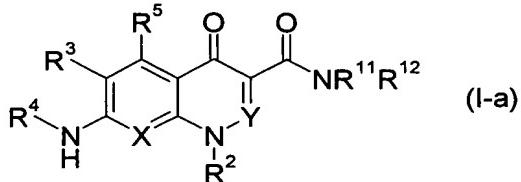
4. Use of the compound according to claim 1 as a P2Y12 inhibitor.

5. Use of the compound according to claim 1 for the manufacture of a platelet aggregation inhibitor.

5

6. Use of the compound according to claim 1 for the manufacture of a P2Y12 inhibitor.

7. A quinolone derivative represented by the formula (I-a) or a pharmaceutically acceptable salt thereof:



[the symbols in the formula have the following meanings:

X: C-R⁷ or N;

15 Y: C-R⁶ or N;

R¹¹: -H, a lower alkyl which may be substituted, or an amino which may be substituted with a lower alkyl which may be substituted;

R¹²: -H, or a lower alkyl or an aryl, which respectively may be substituted, provided that R¹¹ and R¹² together with the adjacent nitrogen may form a cyclic amino which may be substituted;

20 R²: a lower alkyl, a cycloalkyl, an aryl or a hetero ring, which respectively may be substituted;

R³: a halogen, a lower alkyl or -O-lower alkyl;

R⁴: a cycloalkyl or a non-aromatic hetero ring, which respectively may be substituted, or a lower alkyl substituted with a cycloalkyl; provided that wherein R⁴ represents a non-aromatic hetero ring which may be substituted, a carbon atom constituting the ring binds to the adjacent NH;

25 R⁵: -H, a halogen, cyano, nitro, a lower alkyl, a halogeno-lower alkyl, a cycloalkyl, an aryl, a hetero ring, -O-lower alkyl, -OH, -NHCO-lower alkyl, -N(lower alkyl)CO-lower alkyl, an amino which may be substituted with a lower alkyl, or a cyclic amino which may be substituted;

R⁶: -H, a halogen, a lower alkyl or a halogeno-lower alkyl;

R⁷: -H, a halogen, a lower alkyl or a halogeno-(lower alkyl);

provided that when Y represents C-R⁶, R² and R⁶ together may form a lower alkylene or a lower alkenylene and provided that 7-(cyclohexylamino)-1-ethyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carbohydrazide is excluded.

5 8. The compound according to claim 7, wherein X is CH.

9. The compound according to claim 8, wherein R³ is a halogen.

10 10. The compound according to claim 9, wherein R⁴ is a cycloalkyl.

11. The compound according to claim 10, wherein R⁵ is -H, -OH or a halogen.

12. The compound according to claim 11, wherein R¹² is a lower alkyl respectively substituted with one or more groups selected from the Group Q (provided that at least one is substituted with a group of the Group P):

Group P: -CO₂H, -SO₃H, -P(O)(OH)₂, and -OP(O)(OH)₂; and

Group Q: -F, -OH, -CO₂H, -SO₃H, -P(O)(OH)₂, and -OP(O)(OH)₂.

13. The compound according to claim 11, wherein NR¹¹R¹² together is a cyclic amino group substituted with one or more groups selected from the Group Q (provided that at least one is substituted with a group of the Group P).

Group P: -CO₂H, -SO₃H, -P(O)(OH)₂, and -OP(O)(OH)₂; and

Group Q: -F, -OH, -CO₂H, -SO₃H, -P(O)(OH)₂, and -OP(O)(OH)₂.

25 14. The compound according to claim 7, which is

[2-({[7-(cyclohexylamino)-1-cyclopentyl-6-fluoro-4-oxo-1,4-dihydroquinolin-3-yl]carbonyl}amino)ethyl]phosphonic acid,

(2S)-2-({[7-(cyclohexylamino)-1-cyclopentyl-6-fluoro-4-oxo-1,4-dihydroquinolin-3-yl]carbonyl}amino)butanedioic acid,

30 2-({[7-(cyclohexylamino)-1-cyclopentyl-6-fluoro-4-oxo-1,4-dihydroquinolin-3-yl]carbonyl}amino)ethyl dihydrogen phosphate,

(2S)-2-({[7-(cyclohexylamino)-1-cyclopentyl-6-fluoro-4-oxo-1,4-dihydroquinolin-3-yl]carbonyl}amino)pentanedioic acid,

{2-[{[7-(cyclohexylamino)-6-fluoro-4-oxo-1-[(3S)-tetrahydrofuran-3-yl]-1,4-dihydroquinolin-3-yl}carbonyl]amino}ethyl}phosphonic acid,
{2-[{[7-(cyclohexylamino)-6-fluoro-4-oxo-1-[(3R)-tetrahydrofuran-3-yl]-1,4-dihydroquinolin-3-yl}carbonyl]amino}ethyl}phosphonic acid,
5 [2-{[7-(cyclohexylamino)-1-(1-ethylpropyl)-6-fluoro-4-oxo-1,4-dihydroquinolin-3-yl]carbonyl}amino)-1,1-difluoroethyl]phosphonic acid,
{2-[{[7-(cyclohexylamino)-6-fluoro-1-[2-hydroxy-1-(hydroxymethyl)ethyl]-4-oxo-1,4-dihydroquinolin-3-yl}carbonyl]amino}ethyl}phosphonic acid,
[2-{[7-(cyclohexylamino)-1-ethyl-6-fluoro-4-oxo-1,4-dihydrocinnolin-3-yl]carbonyl}amino}ethyl]phosphonic acid,
10 [2-{[7-(cyclohexylamino)-1-(1-ethylpropyl)-6-fluoro-4-oxo-1,4-dihydrocinnolin-3-yl]carbonyl}amino}ethyl]phosphonic acid,
{2-{[7-(cyclohexylamino)-1-(1-ethylpropyl)-6-fluoro-4-oxo-1,4-dihydrocinnolin-3-yl]carbonyl}amino}ethyl]phosphonic acid,
[2-{[7-(cyclohexylamino)-1-(1-ethylpropyl)-6-fluoro-4-oxo-1,4-dihydroquinolin-3-yl]carbonyl}amino}ethyl]phosphonic acid,
15 (2S)-2-{[7-(cyclohexylamino)-1-(1-ethylpropyl)-6-fluoro-4-oxo-1,4-dihydroquinolin-3-yl]carbonyl}amino}pentanedioic acid,
(2S)-2-{[7-(cyclohexylamino)-1-(1-ethylpropyl)-6-fluoro-4-oxo-1,4-dihydrocinnolin-3-yl]carbonyl}amino}pentanedioic acid or
[2-{[7-(cyclohexylamino)-1-(2,2-dimethyl-1,3-dioxan-5-yl)-6-fluoro-4-oxo-1,4-dihydroquinolin-3-yl]carbonyl}amino}ethyl]phosphonic acid, or a pharmaceutically acceptable salt thereof.
20

15. The pharmaceutical composition comprising a compound according to any one of claims 7 through 14 and a pharmaceutically acceptable carrier.

25 16. The pharmaceutical composition according to claim 15, which is a platelet aggregation inhibitor.

17. The pharmaceutical composition according to claim 15, which is a P2Y12 inhibitor.

30 18. Use of the compound according to any one of claims 7 through 14 as a platelet aggregation inhibitor.

19. Use of the compound according to any one of claims 7 through 14 as a P2Y12 inhibitor.

20. Use of the compound according to any one of claims 7 through 14 for the
5 manufacture of a platelet aggregation inhibitor.

21. Use of the compound according to any one of claims 7 through 14 for the
manufacture of a P2Y12 inhibitor.